

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP2005/002307

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A61K31/192 A61K31/18 A61K31/63 A61K31/4402 A61K31/4406
A61K31/4409 A61K31/47 A61K31/381 A61K31/42 A61K31/426
A61K31/351 A61K31/445 A61P5/28 A61P25/24 A61P35/00

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A61K C07C C07D G01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data, WPI Data, PAJ, BIOSIS, MEDLINE, EMBASE, SCISEARCH, CANCERLIT, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 01/36365 A (KARO BIO AB; MALM, JOHAN; LITTEN, CHRIS; APELQVIST, THERESA; HEDFORS,) 25 May 2001 (2001-05-25) cited in the application page 5, paragraph 1 - page 6, last paragraph page 12, paragraph 2 - last paragraph examples 1-30,36-121 page 27, paragraph 2 - page 31, paragraph 1 claims 1-15,17-19,22-25,32-36,38 ----- -/--	1-6, 10-12

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search

5 July 2005

Date of mailing of the international search report

25. 10. 2005

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Cielen, E

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X	<p>WO 01/36351 A (CORVAS INTERNATIONAL, INC; MADISON, EDWIN, L; BRUNCK, TERENCE, K; SEMP) 25 May 2001 (2001-05-25)</p>	1,3-6,9-12
Y	<p>page 1, line 26 - page 2, line 2</p> <p>page 4, line 25 - page 5, line 3</p> <p>page 54, line 10 - page 58, line 15</p> <p>page 92, lines 14-36</p> <p>page 106, lines 24-40</p> <p>claims 1,13-15,60,70-73</p> <p>figures 1A-1G,1J,1K,1N,1O,2I-2P,2S,2V</p> <p style="text-align: center;">-----</p>	1,3-5
Y	<p>WO 02/34776 A (K.U.LEUVEN RESEARCH AND DEVELOPMENT; DECLERCK, PAUL; STASSEN, JEAN-MAR) 2 May 2002 (2002-05-02)</p> <p>page 9, line 31 - page 10, line 5</p> <p style="text-align: center;">-----</p>	1,3-5
X	<p>WO 2004/000789 A (ELI LILLY AND COMPANY; FERRITTO CRESPO, RAFAEL; MARTIN, JOSE, ALFREDO;) 31 December 2003 (2003-12-31)</p> <p>page 2, line 1 - page 5, line 21</p> <p>page 9, lines 9-17</p> <p>page 10, lines 12-20</p> <p>page 29, lines 1-11</p> <p>example 100</p> <p style="text-align: center;">-----</p>	1,3-6,9,11
X	<p>FR 2 254 549 A (TAKEDA CHEMICAL,JA)</p> <p>11 July 1975 (1975-07-11)</p> <p>page 1, lines 1-25</p> <p>page 4, lines 13-17</p> <p>examples</p> <p>1.1-1.10,1.13-1.15,1.18-1.25,1.27,1.29,1.31,1.34</p> <p>examples</p> <p>1.38,1.54-1.57,3.2-3.4,5.2,6.1,6.4,9.1,10.1</p> <p>claims 1,17-31</p> <p style="text-align: center;">-----</p> <p style="text-align: center;">-/--</p>	1-3,6,9,11

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Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	GB 1 437 781 A (BEECHAM GROUP LTD) 3 June 1976 (1976-06-03) page 1, lines 5-9 page 2, lines 17-21 page 4, lines 16-26 compounds 19-31,39 claims 3,4,11 -----	1,3,6,9, 11,12
X	US 4 080 505 A (KAWAMATSU ET AL) 21 March 1978 (1978-03-21) column 1, lines 1-32 compounds 11-16 -----	1-3,6,9, 11
X	YOSHIOKA T ET AL: "STUDIES ON HINDERED PHENOLS AND ANALOGUES 1. HYPOLIPIDEMIC AND HYPOGLYCEMIC AGENTS WITH ABILITY TO INHIBIT LIPID PEROXIDATION" JOURNAL OF MEDICINAL CHEMISTRY, vol. 32, no. 2, 1989, pages 421-428, XP002334138 ISSN: 0022-2623 abstract figure ChartVI; compound 25 figure SchemeII; compound 42 table III; compound 25 page 424, column 1, last paragraph - column 2, paragraph 4 page 424, column 2, last paragraph - page 425, column 1, paragraph 1 page 427, column 1, paragraphs 4,5 -----	1,3,6,9, 11
X	DATABASE WPI 20 December 1994 (1994-12-20), Derwent Publications Ltd., London, GB; Class 951,page 0, AN 1995-070268 XP002334142 OGAWA KAZUO; ONO TOMOYASU; YAMADA HARUO: "Urea derivative and its salt" & JP 06 345714 A (TAIHO PHARMACEUTICAL CO LTD) 20 December 1994 (1994-12-20) abstract ----- -/--	1,3-6, 9-11

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Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>KAWAMATSU Y ET AL: "STUDIES ON ANTIHYPERLIPIDEMIC AGENTS II. SYNTHESIS AND BIOLOGICAL ACTIVITIES OF 2-CHLORO-3-ARYLPROPIONIC ACIDS" ARZNEIMITTEL FORSCHUNG. DRUG RESEARCH, EDITIO CANTOR VERLAG, AULENDORF, DE, vol. 30, no. 4, 1980, pages 585-589, XP001146728 ISSN: 0004-4172 page 585, column 1, paragraph 1 - page 587, column 1, paragraph 2 table 1; compounds 12-22,24-25,32 table 3; compounds 65-72 table 4; compounds 34,38 page 587, column 2, paragraph 2 page 588, column 1, paragraph 1 page 588, column 2, last paragraph - page 589, column 1, paragraph 2</p>	1-3,6,9, 11
X	<p>US 3 019 257 A (CANONICA LUIGI) 30 January 1962 (1962-01-30) examples II-IV claim 1</p>	9,12
X	<p>DEECHONGKIT SONGPON ET AL: "Synthesis of all nineteen appropriately protected chiral alpha-hydroxy acid equivalents of the alpha-amino acids for Boc solid-phase depsi-peptide synthesis." ORGANIC LETTERS, vol. 6, no. 4, 19 February 2004 (2004-02-19), pages 497-500, XP002334139 ISSN: 1523-7060 figure 1 figures Scheme,1; compound 14</p>	9
X	<p>BUU-HOI N P ET AL: "ACIDES ARYLACETHYDROXAMIQUES ANTI-INFLAMMATOIRES ET ANALGESIQUES" CHIMICA THERAPEUTICA, SOCIETE D'ETUDES DE CHIMIE THERAPEUTIQUE, FR, vol. 2, no. 1, 1967, pages 39-48, XP000573817 table IIB</p>	9

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	SCHERKENBECK JUERGEN ET AL: "Syntheses of depsipeptide analogues of the insect neuropeptide proctolin" BIOORGANIC AND MEDICINAL CHEMISTRY LETTERS, vol. 12, no. 12, 17 June 2002 (2002-06-17), pages 1625-1628, XP002334140 ISSN: 0960-894X page 1626, column 1, paragraph 2 figure Scheme1; compounds 6,7 -----	9
A	BEERS M.H; BERKOW R.: "The Merck Manual of Diagnosis and Therapy, Seventeenth Edition" 1999, MERCK RESEARCH LABORATORIES , WHITEHOUSE STATION, N.J. , XP002334141 page 105, column 2, paragraph 3 page 811, column 1, last paragraph page 814, column 1, paragraphs 4,5 -----	1-5
A	NICOLAUS B J R: "SYMBIOTIC APPROACH TO DRUG DESIGN" DECISION MAKING IN DRUG RESEARCH, 1983, pages 173-186, XP001111439 the whole document -----	
P,A	EP 1 471 049 A (KISSEI PHARMACEUTICAL CO., LTD) 27 October 2004 (2004-10-27) page 6, paragraph 41 page 7, paragraphs 51,52 page 94, paragraph 446 claims 10,11 -----	1-5
A	& WO 03/064369 A (KISSEI PHARMACEUTICAL CO., LTD; SHIOHARA, HIROAKI; NAKAMURA, TETSUYA;) 7 August 2003 (2003-08-07) -----	1-5
A	WO 03/071267 A (VANDERBILT UNIVERSITY; VAUGHAN, DOUGLAS, E; EREN, MESUT; DECLERCK, PAU) 28 August 2003 (2003-08-28) page 5, lines 14-22 page 7, lines 12-18 page 8, line 31 - page 9, line 9 page 11, line 22 - page 12, line 3 page 13, lines 4-12 page 13, lines 26-29 claim 1 ----- -/--	1-5

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,X	WO 2004/020420 A (F.HOFFMANN-LA ROCHE AG) 11 March 2004 (2004-03-11) page 1, lines 1-25 page 20, lines 1-12 figure Schemel; compounds 7-8 page 24, line 35 - page 25, line 13 examples 11,24,26-28,56,58,96,111 claim 1	1,3-6, 9-12
P,X	----- DATABASE WPI 21 May 2004 (2004-05-21), Derwent Publications Ltd., London, GB; Class 043, page 7, AN 2004-400532 XP002334143 FUKATSU K. ET AL.: "Receptor function controlling agent" & WO 2004/041266 A (TAKEDA CHEMICAL INDUSTRIES LTD) 21 May 2004 (2004-05-21) abstract	1-6,10, 11
P,X	-& WO 2004/041266 A (TAKEDA CHEMICAL INDUSTRIES, LTD; FUKATSU, KOHJI; SASAKI, SHINOBU; HINU) 21 May 2004 (2004-05-21) compounds 5-11,16,17,22-24,26,46-47,58-59,100-103,10 5-118 compounds 121,122,137-144,147,148,151,152,157,158,16 1,162 compounds 167,168,189,190,214,215,251,254,264-267	1-6,10, 11
P,X	----- WO 2005/009104 A (LIGAND PHARMACEUTICALS INCORPORATED; MAPES, CHRISTOPHER; KARANEWSKY, D) 3 February 2005 (2005-02-03) page 1, paragraph 2 compounds 1-11,13-23,26,29-33,35,39,58-59,61 page 57, paragraph 125 figure Schemel page 75, paragraph 169 examples 1-11,13-23,26,29-33,35,39,58,59,61,71,76-8 7,91,92 examples 101,102 claims 1,21,44,64 -----	1-6,9-12

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Box II Observations where certain claims were found unsearchable (Continuation of Item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
Although claim 4 is directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of Item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:
1-6, 9-12

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-6, 9-12

A compound of formula (I) or (Ia) or a pharmaceutically acceptable ester, amide, solvate or salt thereof, including a salt of such an ester or amide, and a solvate of such an ester, amide or salt, for use in the treatment or prophylaxis of a condition mediated by an androgen receptor. A method for the treatment or prophylaxis of a condition in a mammal mediated by an androgen receptor, which comprises administering to the mammal a therapeutically effective amount of a compound of formula (I) or a pharmaceutically acceptable ester, amide, solvate or salt thereof, including a salt of such an ester or amide, and a solvate of such an ester, amide or salt.

Use of a compound of formula (I) or (Ia) or a pharmaceutically acceptable ester, amide, solvate or salt thereof, including a salt of such an ester or amide, and a solvate of such an ester, amide or salt, for the manufacture of a medicament for the treatment or prophylaxis of a condition mediated by an androgen receptor.

A compound of formula (Ib) or (Ic) or a pharmaceutically acceptable ester, amide, solvate or salt thereof, including a salt of such an ester or amide, and a solvate of such an ester, amide or salt.

A compound of formula (Ib) or (Ic) or a pharmaceutically acceptable ester, amide, solvate or salt thereof, including a salt of such an ester or amide, and a solvate of such an ester, amide or salt for use as a medicament.

A method for preparing a compound of formula (Ib) or (Ic).

2. claim: 7

Use of a compound of formula (I) or (Ia) or a pharmaceutically acceptable ester, amide, solvate or salt thereof, including a salt of such an ester or amide, and a solvate of such an ester, amide or salt, in labelled form as a diagnostic agent for the diagnosis of conditions associated with malfunction of the androgen receptor.

3. claim: 8

A method of discovering a ligand of the androgen receptor which comprises the use of a compound of formula (I) or (Ia) or a pharmaceutically acceptable ester, amide, solvate or salt thereof, including a salt of such an ester or amide, and a solvate of such an ester, amide or salt, or of such a compound in labelled form, as a reference compound.

INTERNATIONAL SEARCH REPORT

Information on patent family members

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